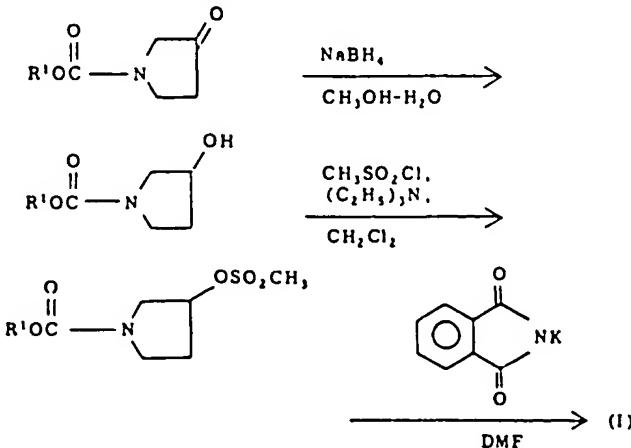


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STARTING MATERIALS



EXAMPLE

1-Ethoxycarbonyl-3-pyrrolidone (100 g) was dissolved in MeOH (300 ml) and a soln. of sodium borohydride (6.02 g) in H₂O (40 ml) was added dropwise at 0°C over 30 mins., then stirred for 15 mins. Conc. HCl (14.3 ml), safd. NaCl soln. (250 ml) and CH₂Cl₂ (300 ml) were added to the reaction mixt. The organic layer was fractionated, washed with safd. eq. NaCl soln. (100 ml), dried over anhydrous MgSO₄, and the solvent was distilled off under reduced press. to give 1-ethoxycarbonyl-3-hydroxypyrrolidine (100 g, 98.7% yield) as an oil.

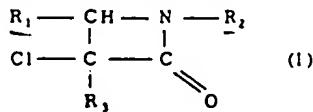
Followed by prepn. of:

followed by prep. 61:
 1-ethoxycarbonyl-3-mesyloxyppyrrolidine;
 1-ethoxycarbonyl-3-phthalimidopyrrolidine;
 3-aminopyrrolidine; and finally
 3-aminopyrrolidine (III).
 (4ppW69WSDwgN00/0).

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86-116676/18 B03 KANT- 29.08.84
 KANTOH ISHI SEIYAKU *J6 1057-580-A
 29.08.84-JP-180212 (24.03.86) A61k-31/39 C07d-205/08 C07d-235
 C07d-403/04 C07d-405/04
 New 2-azetidinone derivs. - with carcinostatic and antibacterial
 activity
 CB6-049841

2-Azetidinone derivs. of formula (I) are new:



R_1 = furyl or methoxyphenyl;
 R_2 = benzimidazolyl, phenyl, methoxyphenyl, methoxy-
 carbonylphenyl or ethoxycarbonylphenyl; and
 R_3 = H, phenyl or chloro.

USE

(I) have excellent physiological activity as carcinostatic, immuno-controlling and antibacterial agents and are useful as pharmaceuticals.

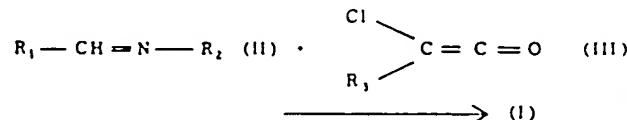
8-(6-D5, 7-D1, 12-A1, 12-D2, 12-G7)

5

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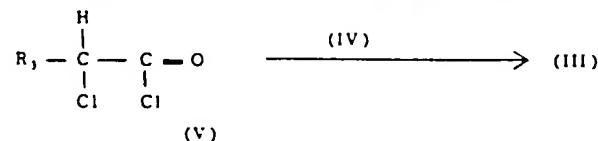
PREPARATION

ANSWER



STARTING MATERIALS

(III) is a reactive and unstable cpd. It is pref. prep'd. in situ by treating an acetyl chloride deriv. of formula (V) with an organic amine (IV) (pref. 1-3C alkylamine).



J61057580-A

EXAMPLE

A soln. contg. chloroacetylchloride in anhydrous benzene (10 ml) was added dropwise to a soln. contg. (II: $R_1 =$ furyl, $R_2 =$ phenyl) (0.01 mol.) and Et_3N (1.52 g, 0.015 mol.) in anhydrous benzene (50 ml) at 5-10°C with stirring. The reaction mixt. was allowed to rise to room temp. and stirred for 2 hrs. The $Et_3N \cdot HCl$ was removed and the solvent distilled off under reduced press. The residue was chromatographed (silica gel : eluent, hexane-EtOAc) (5 : 1 - 50 : 1) to give (I: $R_1 =$ 2-furyl, $R_2 =$ phenyl, $R_3 =$ H). (8ppW69WSDWgNo0/0).

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